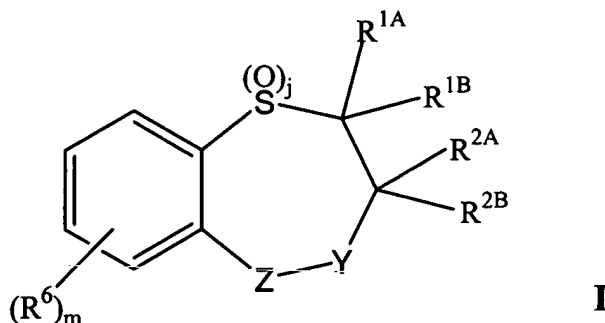


IN THE CLAIMS

Please amend the following claims as noted below:

1. (Amended) A compound of Formula I:



wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2A} and R^{2B} together with the carbon atom to which they are attached form a C_{3-10} cycloalkyl group; and

one of Z and Y is NR^3 and the other of Z and Y is CHR^4 ;

wherein R^3 and R^4 are independently selected from the group consisting of hydrogen, acyl, thioacyl, and R^5 ; and

wherein R^5 is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; $-OR^9$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; and $-SO_3R^9$;

wherein the R^5 alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently

selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁵ radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁵ radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl;

quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; quaternary heterocyclalkyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; quaternary heterocyclalkyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

one or more R⁶ radicals are independently selected from the group consisting of R⁵, hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; quaternary heterocyclalkyl; arylalkyl; heterocyclalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R

¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)NR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R⁶ alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R⁶ quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; ~~oxo~~; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and carbohydrate residue; and

wherein the R⁶ radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR¹³-; -N⁺R¹³R¹⁴A⁻; -S-; -SO-; -SO₂-; -S⁺R¹³A⁻; -PR¹³-; -P(O)R¹³-; -PR¹³R¹⁴; -P⁺R¹³R¹⁴A⁻; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein

said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹-; and

wherein R¹⁸ is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt or solvate thereof;

provided that at least one of R³, R⁴ and R⁶ is R⁵; and

provided that at least one of the following conditions is satisfied:

(a) the R⁵ moiety possesses an overall positive charge;

(b) the R⁵ moiety comprises a quaternary ammonium group or a quaternary amine salt;

(c) the R⁵ moiety comprises a phosphonic acid group or at least two carboxyl groups; or

(d) the R⁵ moiety comprises a polyethylene glycol group having a molecular weight of at least 1000.

2. (Amended) A compound of Claim 1 wherein R⁵ is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl;

aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁵ aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁵ aryl optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; ~~sulfo~~; ~~oxo~~; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl;

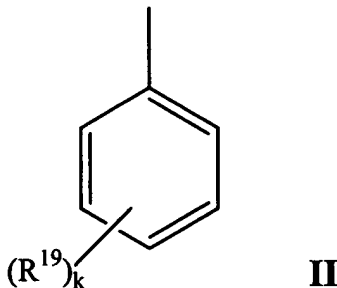
guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

3. (Amended) A compound of claim 2 wherein R⁵ is:

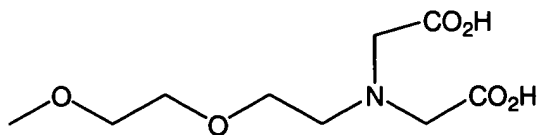
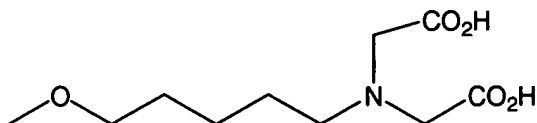
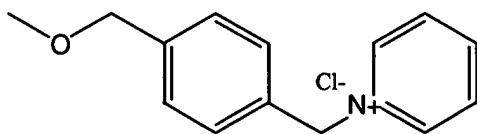


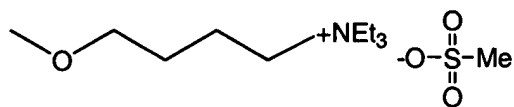
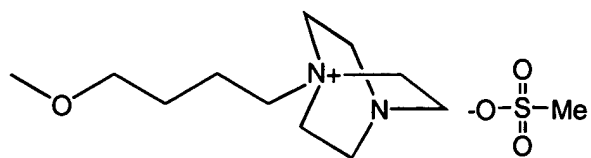
wherein

k is 0, 1, 2, 3 or 4; and

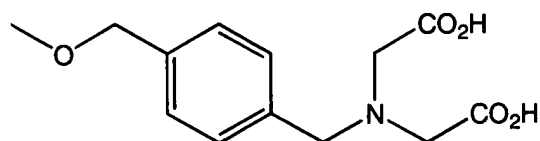
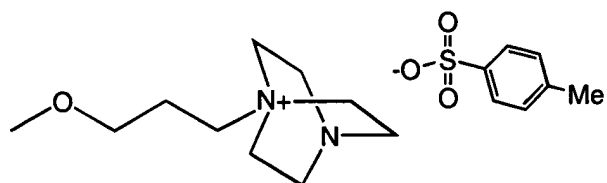
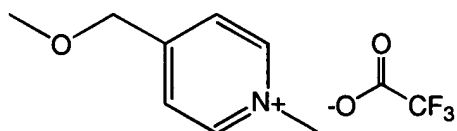
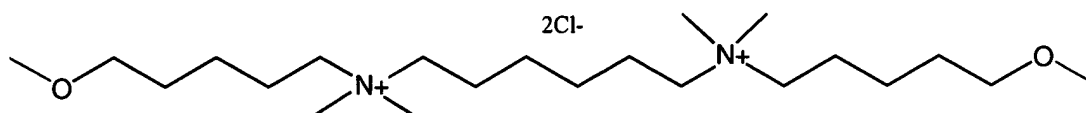
one or more R^{19} are independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and

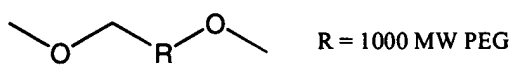
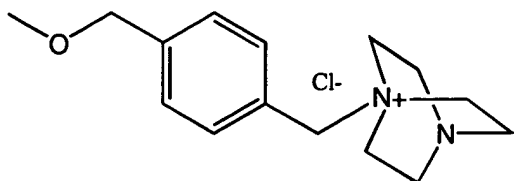
A1



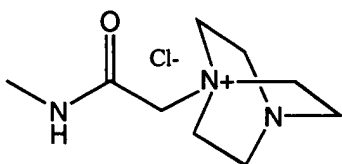
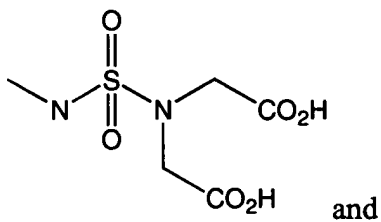
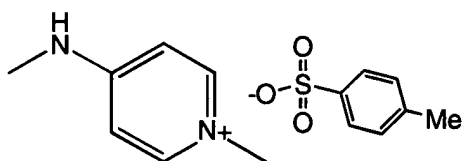


Al





Al



;

wherein the R¹⁹ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl,

and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and wherein the R¹⁹ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclalkyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclalkyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group

consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or

A1
more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

8. (Amended) A compound of claim 3 wherein:

R³ is R⁵; and

R⁴ is selected from the group consisting of hydrogen; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR⁹;

A2
wherein the R⁴ alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁴ radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl;

quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^4 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; ~~o~~; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary

heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue;

peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

9. (Amended) A compound of claim 3 wherein:

R^3 is selected from the group consisting of hydrogen; λ alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and $-OR^9$;

wherein the R^3 alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; $-NO_2$; λ alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; - OR^{13} ; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^3 radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$

; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^3 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

A₂
wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of

R^9 and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R^4 is R^5 .

10. (Amended) A compound of claim 3 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocyclyl; and

wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

11. (Amended) A compound of claim 3 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of polyether, aryl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, and alkylheterocyclalkyl,

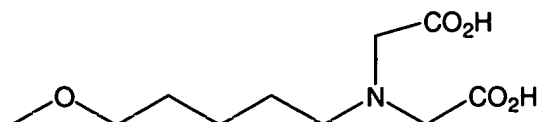
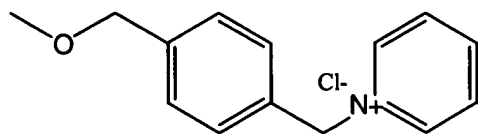
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

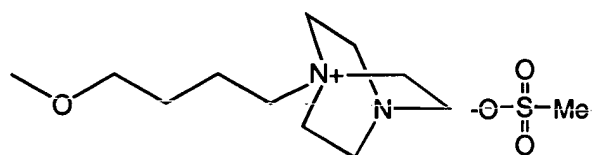
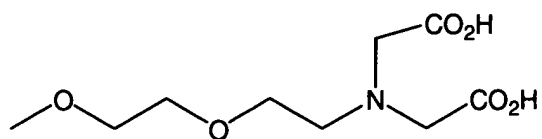
wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocyclyl; and

wherein R^{11} and R^{12} are independently alkyl; and

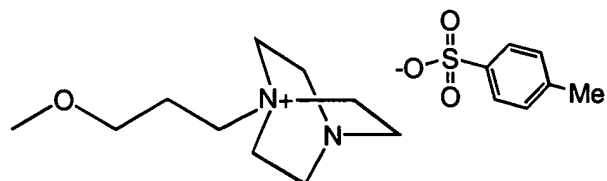
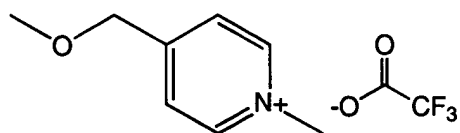
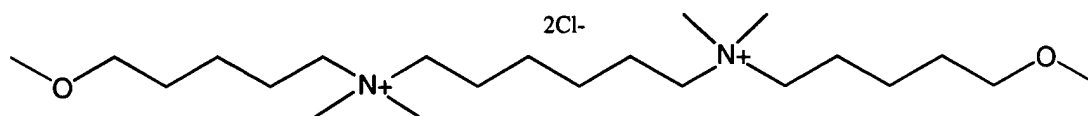
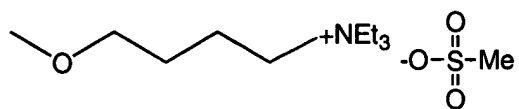
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

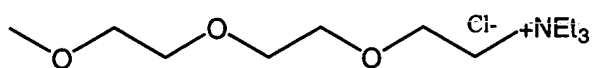
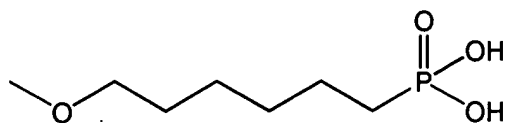
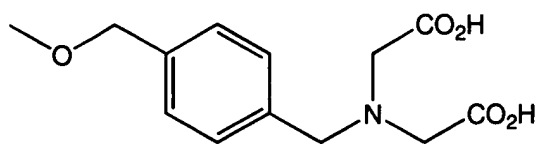
14. (Amended) A compound of claim 3 wherein R^{19} is selected from the group consisting of:



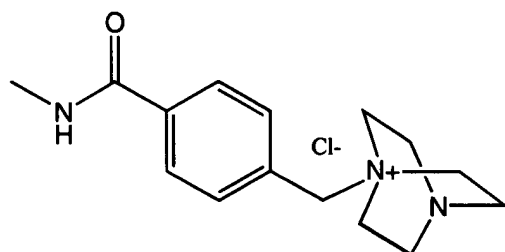
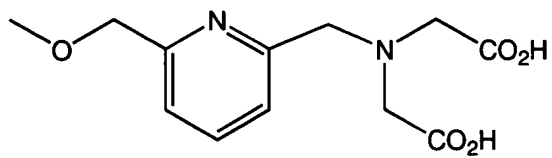
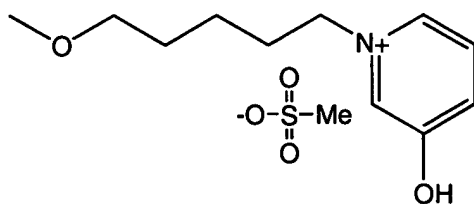


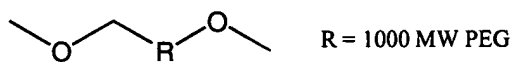
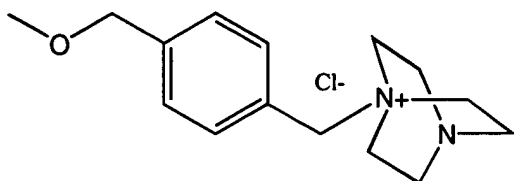
A3



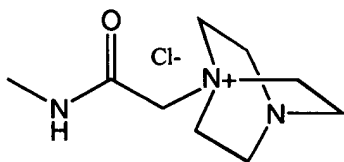
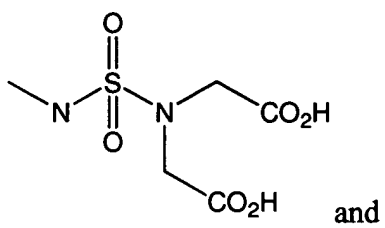
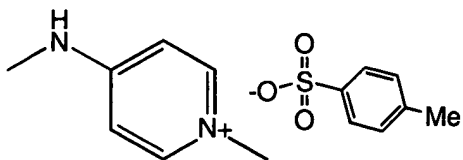


A3



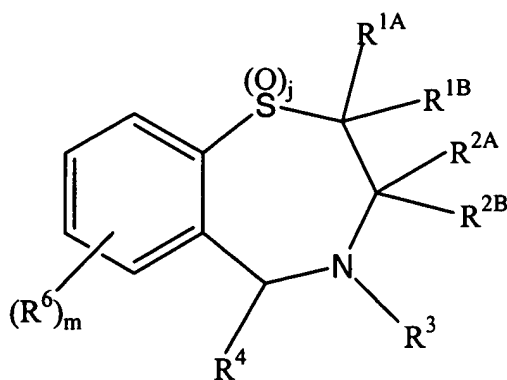


A³



29. (Amended) A compound of claim 1 corresponding to Formula IA:

A⁴



IA

wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, and aralkyl; or

R^{2A} and R^{2B} together with the carbon atom to which they are attached form a C_{3-7} cycloalkyl group; and

R^3 and R^4 are independently selected from the group consisting of hydrogen, acyl, thioacyl, and R^5 ; and

wherein R^5 is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; $-OR^9$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; and $-SO_3R^9$;

wherein the R^5 alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$;

¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁵ radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁵ radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl;

cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R¹⁴ and R¹⁵ together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidynyl; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻;

-S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

one or more R⁶ radicals are independently selected from the group consisting of R⁵, hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)NR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R⁶ alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group

consisting of halogen; -CN; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R⁶ quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and carbohydrate residue; and

wherein the R⁶ radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR¹³-; -N⁺R¹³R¹⁴A⁻; -S-; -SO-; -SO₂-; -S⁺R¹³A⁻; -PR¹³-; -P(O)R¹³-; -PR¹³R¹⁴; -P⁺R¹³R¹⁴A⁻; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹-; and

wherein R¹⁸ is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or

more radicals selected from the group consisting of halogen; -CN; NO₂; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt or solvate thereof;

provided that at least one of R³, R⁴ and R⁶ is R⁵; and

provided that the R⁵ alkyl, cycloalkyl, aryl, heterocyclyl, and -OR⁹ radicals are not substituted with -O(CH₂)₁₋₄NR'R''R''' wherein R', R'' and R''' are independently selected from hydrogen and alkyl; and

provided that at least one of the following conditions is satisfied:

(a) the R⁵ moiety possesses an overall positive charge; and/or

(b) the R⁵ moiety comprises a quaternary ammonium group or a quaternary amine salt; and/or

(c) the R⁵ moiety comprises at least two carboxy groups.

30. (Amended) A compound of Claim 29 wherein R⁵ is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclalkyl, arylalkyl, heterocyclalkyl,

and polyether substituents of the R⁵ aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁵ aryl optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl;

aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

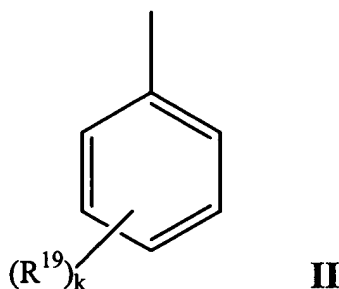
wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -

PR^9 -; $-\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$; $-\text{P}(\text{O})\text{R}^9$ -; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

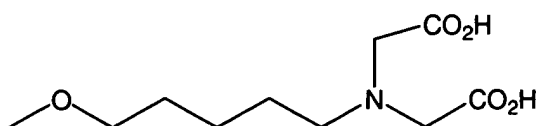
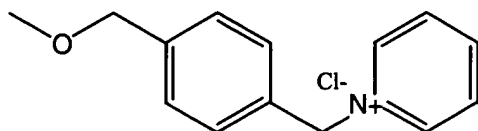
31. (Amended) A compound of claim 30 wherein R^5 is:



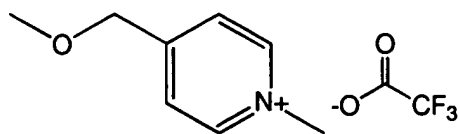
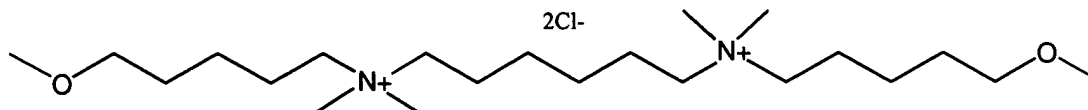
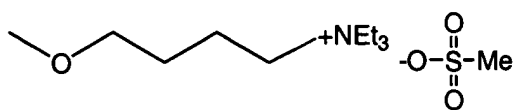
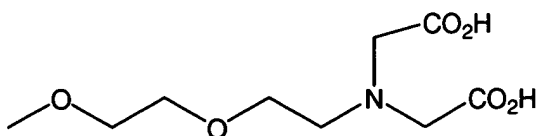
wherein

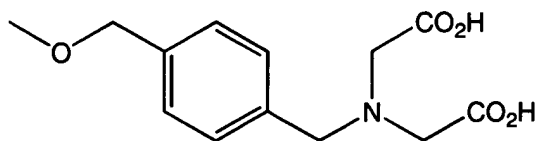
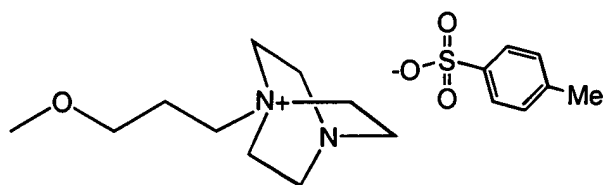
k is 0, 1, 2, 3 or 4; and

one or more R^{19} are independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S}(\text{O})\text{R}^{13}$; $-\text{SO}_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; -OM; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{OM}$; $-\text{COR}^{13}$; $-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$; $-\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{CO}_2\text{R}^{14}$; $-\text{OC}(\text{O})\text{R}^{13}$; $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{SOR}^{14}$; $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$; $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P}(\text{OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and

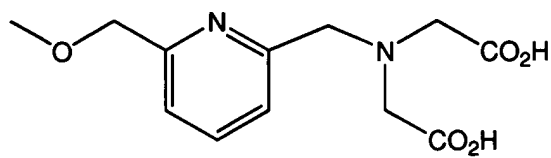
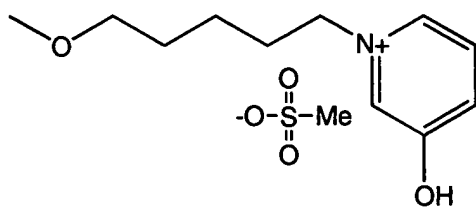
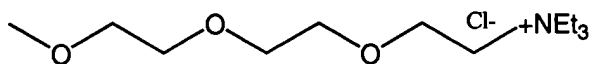
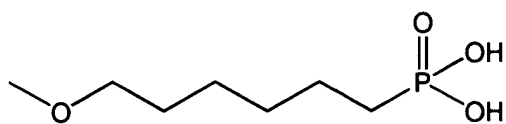


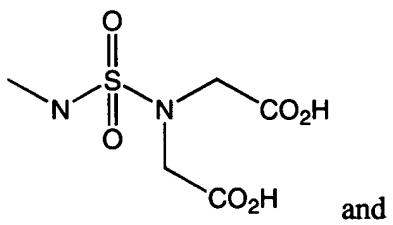
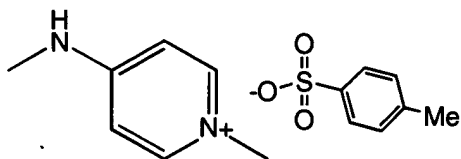
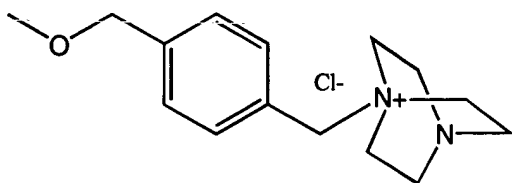
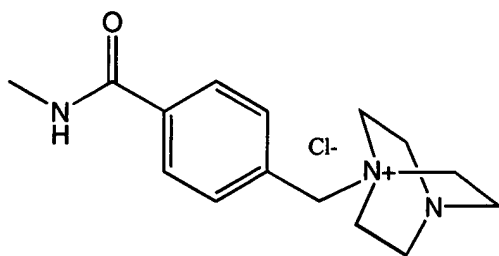
A4



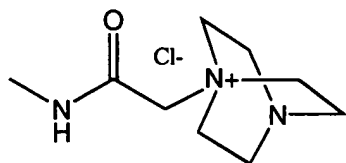


A4





and



;

A4

wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the R^{19} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^7$; $-N^+R^7R^8A^-$; -S-; -SO-; -SO₂-; $-S^+R^7A^-$; $-PR^7$; $-P(O)R^7$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl;

quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

36. (Amended) A compound of claim 31 wherein:

R³ is R⁵; and

R⁴ is selected from the group consisting of hydrogen; alkyl; cycloalkyl; aryl; heterocyclalkyl; acyl, thioacyl, and -OR⁹;

wherein the R⁴ alkyl; cycloalkyl; aryl; heterocyclalkyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; quaternary heterocyclalkyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl,

alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^4 radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy, alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^4 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a

cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN, alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl;

alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

AS

37. (Amended) A compound of claim 31 wherein:

R³ is selected from the group consisting of hydrogen; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR⁹;

wherein the R³ alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R³ radical optionally may be further substituted with

one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R³ radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group

consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

AS wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or

more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R⁴ is R⁵.

As

38. (Amended) A compound of claim 31 wherein:

R¹⁹ is independently selected from the group consisting of -OR¹³, -NR¹³R¹⁴, -NR¹³C(O)R¹⁴, -OC(O)NR¹³R¹⁴, and -NR¹³SO₂R¹⁴, and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, quaternary heterocyclylalkyl, alkylheterocyclylalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or N⁺R⁹R¹⁰A⁻, and

wherein R¹³, R¹⁴, and R¹⁵ are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclylalkyl, -SR⁹, -S(O)R⁹, -S(O)₂R⁹, -S(O)₃R⁹, -NR⁹R¹⁰, -N⁺R⁹R¹¹R¹²A⁻, -CONR⁹R¹⁰, and -PO(OR¹⁶)OR¹⁷, and

wherein R⁹ and R¹⁰ are independently selected from the group consisting of hydrogen, alkyl, heterocyclylalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocyclyl; and

wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

39. (Amended) A compound of claim 31 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

AS wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of polyether, aryl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, and alkylheterocyclalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

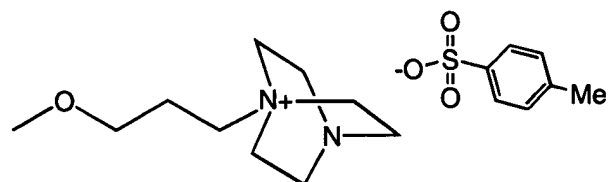
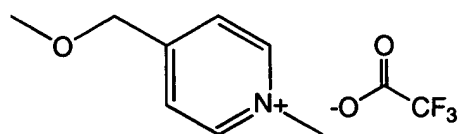
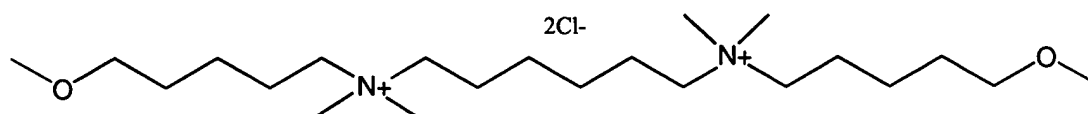
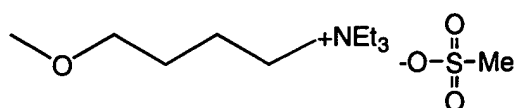
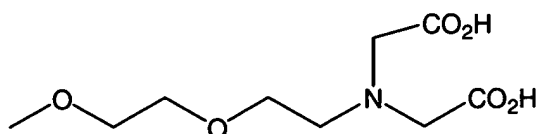
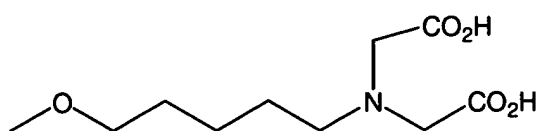
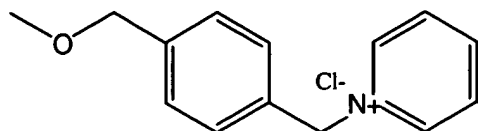
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

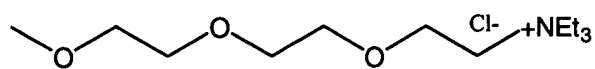
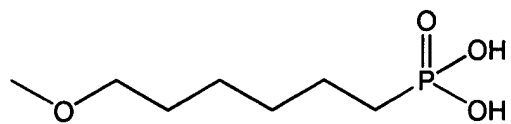
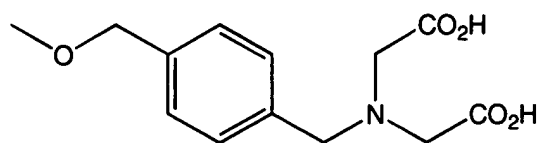
wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocyclyl; and

wherein R^{11} and R^{12} are independently alkyl; and

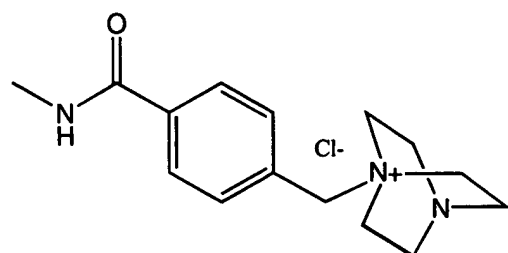
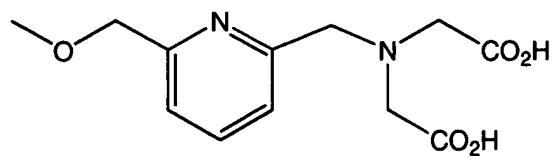
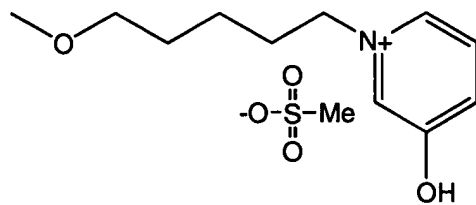
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

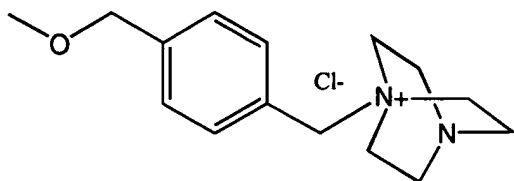
42. (Amended) A compound of claim 31 wherein R^{19} is selected from the group consisting of:



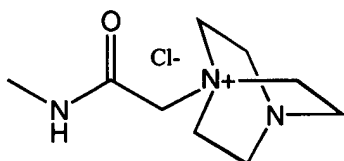
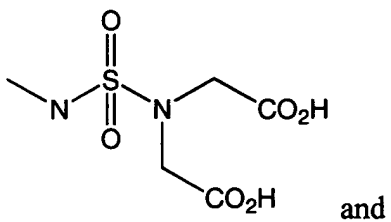
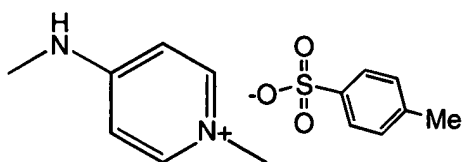


A 6



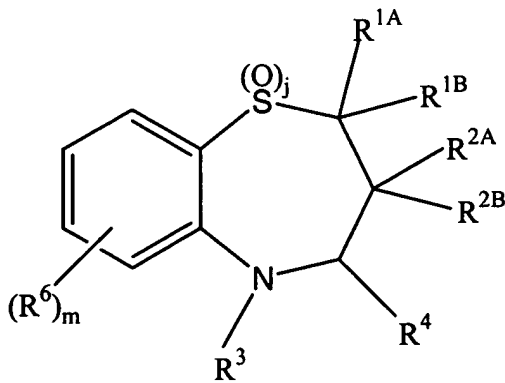


A6



75. (Amended) A compound of claim 1 corresponding to Formula IB:

A7



IB

wherein:

j is 0, 1 or 2; and

m is 0, 1, 2, 3 or 4; and

R^{1A} and R^{1B} are independently selected from hydrogen and alkyl; and

R^{2A} and R^{2B} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, and aralkyl; or

R^{2A} and R^{2B} together with the carbon atom to which they are attached form a C₃₋₇ cycloalkyl group; and

R³ and R⁴ are independently selected from the group consisting of hydrogen, ~~oxo~~, acyl, thioacyl and R⁵; and

wherein R⁵ is selected from the group consisting of alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; -OR⁹; -SR⁹; -S(O)R⁹; -SO₂R⁹; and -SO₃R⁹;

wherein the R⁵ alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; and quaternary heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R⁵ radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy;

alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl;

aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN, alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -

PR^9 -, $-P^+R^9R^{10}A^-$; $-P(O)R^9$ -, phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

one or more R^6 radicals are independently selected from the group consisting of R^5 , hydrogen; halogen; $-CN$; $-NO_2$; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-S(O)_2R^{13}$; $-SO_3R^{13}$; $-S^+R^{13}R^{14}A^-$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-NR^{14}C(O)R^{13}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-OR^{18}$; $-S(O)NR^{13}R^{14}$; $-NR^{13}R^{18}$; $-NR^{18}OR^{14}$; $-N^+R^{13}R^{14}R^{15}A^-$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^6 alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; $-CN$; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^wA^-$; $-N^+R^9R^{11}R^{12}A^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-PR^9R^{10}$; $-P^+R^9R^{11}R^{12}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^6 quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-CN$; $-NO_2$; ~~oæ~~; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl;

heterocyclyl; arylalkyl; heterocyclalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; OM; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-P(O)R^{13}R^{14}$; $-P^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; $-N^+R^{13}R^{14}R^{15}A^-$; and carbohydrate residue; and

wherein the R^6 radicals comprising carbon optionally may have one or more carbons replaced by $-O-$; $-NR^{13}-$; $-N^+R^{13}R^{14}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^{13}A^-$; $-PR^{13}-$; $-P(O)R^{13}-$; $-PR^{13}R^{14}$; $-P^+R^{13}R^{14}A^-$; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by $-O-$; $-NR^9-$; $-N^+R^9R^{10}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^9A^-$; $-PR^9-$; $-P^+R^9R^{10}A^-$; or $-P(O)R^9-$; and

wherein R^{18} is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R^{18} alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-CN$; NO_2 ; $-OR^9$; $-NR^9R^{10}$; $-N^+R^9R^{11}R^{12}A^-$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; $-CONR^9R^{10}$; $-SO_2OM$; $-SO_2NR^9R^{10}$; $-PR^9R^{10}$; $-P(OR^{13})OR^{14}$; $-PO(OR^{16})OR^{17}$; and $-C(O)OM$; or a pharmaceutically acceptable salt or solvate thereof; provided that at least one of R^3 , R^4 and R^6 is R^5 ; and provided that the R^5 alkyl, cycloalkyl, aryl, and heterocyclyl, and $-OR^9$ radicals

are not substituted with $-\text{O}(\text{CH}_2)_{1-4}\text{NR}'\text{R}''\text{R}'''$ wherein R' , R'' and R''' are independently selected from hydrogen and alkyl; and

provided that at least one of the following conditions is satisfied:

- (a) the R^5 moiety possesses an overall positive charge;
- (b) the R^5 moiety comprises a quaternary ammonium group or a quaternary amine salt; and
- (c) the R^5 moiety comprises at least two carboxy groups.

76. (Amended) A compound of Claim 75 wherein R^5 is aryl substituted with one or more radicals independently selected from the group consisting of halogen; $-\text{CN}$; $-\text{NO}_2$; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S}(\text{O})\text{R}^{13}$; $-\text{SO}_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; $-\text{OM}$; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{C}(\text{O})\text{OM}$; $-\text{COR}^{13}$; $-\text{NR}^{13}\text{C}(\text{O})\text{R}^{14}$; $-\text{NR}^{13}\text{C}(\text{O})\text{NR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{CO}_2\text{R}^{14}$; $-\text{OC}(\text{O})\text{R}^{13}$; $-\text{OC}(\text{O})\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{SOR}^{14}$; $-\text{NR}^{13}\text{SO}_2\text{R}^{14}$; $-\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$; $-\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P}(\text{OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; and $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^5 aryl optionally may be further substituted with one or more radicals selected from the group consisting of $-\text{CN}$; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-\text{OR}^7$; $-\text{NR}^7\text{R}^8$; $-\text{SR}^7$; $-\text{S}(\text{O})\text{R}^7$; $-\text{SO}_2\text{R}^7$; $-\text{SO}_3\text{R}^7$; $-\text{CO}_2\text{R}^7$; $-\text{CONR}^7\text{R}^8$; $-\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; $-\text{P}(\text{O})\text{R}^7\text{R}^8$; $-\text{PR}^7\text{R}^8$; $-\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$; and $-\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$; and wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl,

alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁵ aryl optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene;

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl;

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one

or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

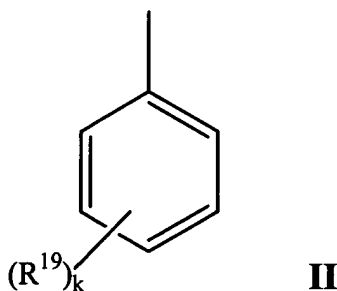
wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically

acceptable cation.

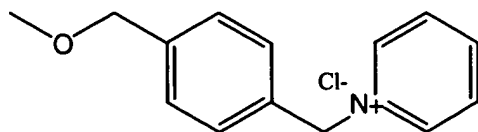
77. (Amended) A compound of claim 76 wherein R⁵ is:

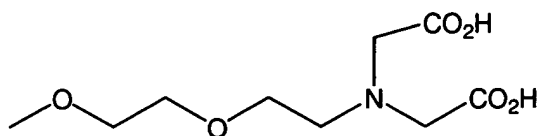
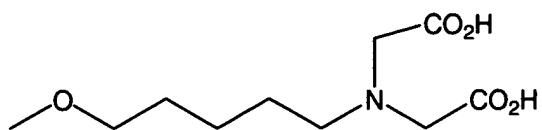


wherein

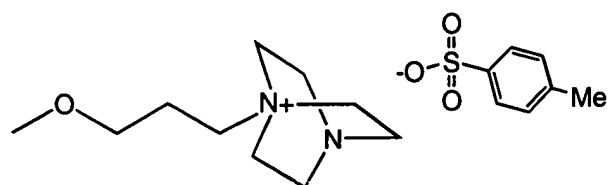
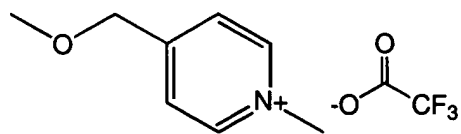
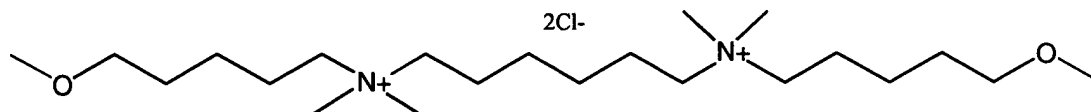
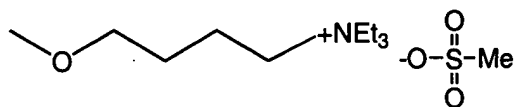
k is 0, 1, 2, 3 or 4; and

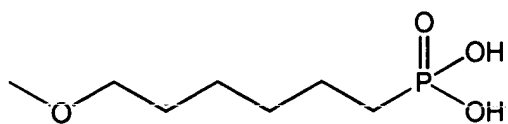
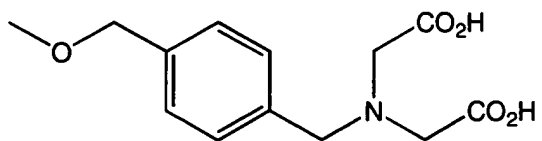
one or more R¹⁹ are independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and



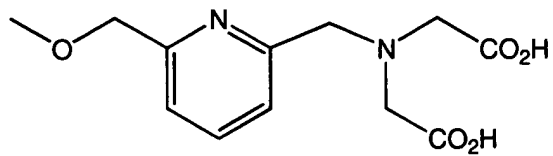
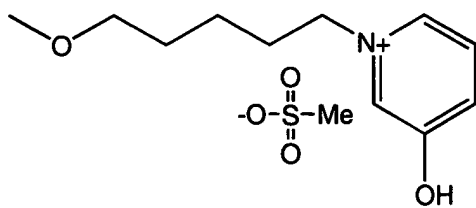
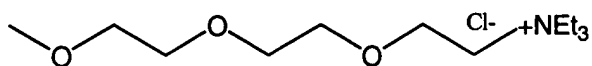


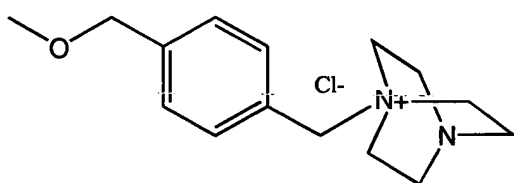
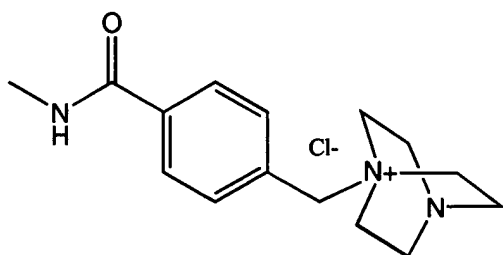
AB



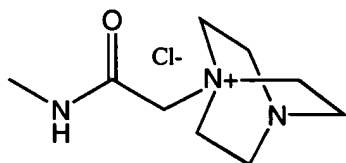
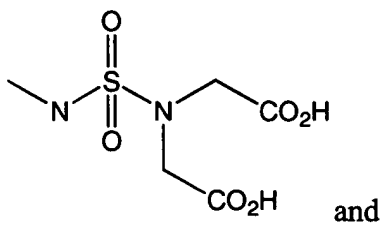
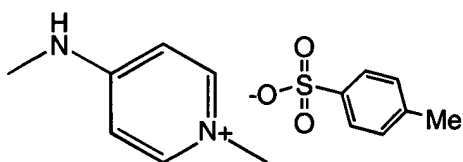


A74





AT



;

wherein the R¹⁹alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl,

alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the R¹⁹ alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidinyl; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl;

A7
alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

82. (Amended) A compound of claim 77 wherein:

R³ is R⁵; and

R⁴ is selected from the group consisting of hydrogen; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR⁹;

A8
wherein the R⁴ alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁴ radical optionally may be further substituted with

one or more radicals selected from the group consisting of -CN; halogen; hydroxy, alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R⁴ radical optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group

consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or

more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

A8

83. (Amended) A compound of claim 77 wherein:

R³ is selected from the group consisting of hydrogen; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, and -OR⁹;

wherein the R³ alkyl; cycloalkyl; aryl; heterocyclyl radical is substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R³ radical optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl;

quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^3 radical optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary

heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; $-OR^{16}$; $-NR^9R^{10}$; $-N^+R^9R^{10}R^WA^-$; $-N^+R^9R^{11}R^{12}A^-$; $-SR^{16}$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^{16}$; $-CO_2R^{16}$; $-CONR^9R^{10}$; $-SO_2NR^9R^{10}$; $-PO(OR^{16})OR^{17}$; $-PR^9R^{10}$; $-P^+R^9R^{10}R^{11}A^-$; $-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocycl; quaternary heterocycl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^9$ -; $-N^+R^9R^{10}A^-$; -S-; -SO-; $-SO_2$ -; $-S^+R^9A^-$; $-PR^9$ -; $-P^+R^9R^{10}A^-$; $-P(O)R^9$ -; phenylene; carbohydrate residue; amino acid residue;

peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R^4 is R^5 .

84. (Amended) A compound of claim 77 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, quaternary heterocyclylalkyl, alkylheterocyclylalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclylalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclylalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocyclyl; and

wherein R^{11} and R^{12} are independently alkyl; and

wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

85. (Amended) A compound of claim 77 wherein:

R^{19} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of polyether, aryl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, quaternary heterocyclylalkyl, and alkylheterocyclylalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

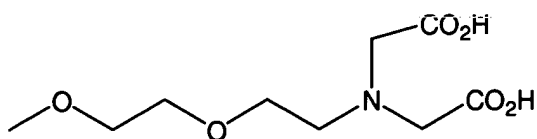
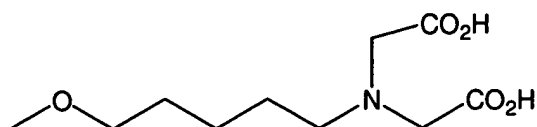
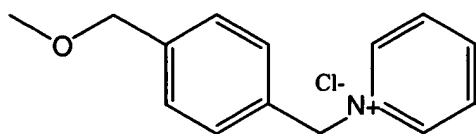
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclylalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclylalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocyclyl; and

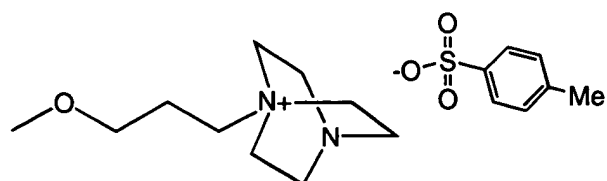
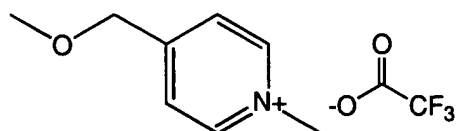
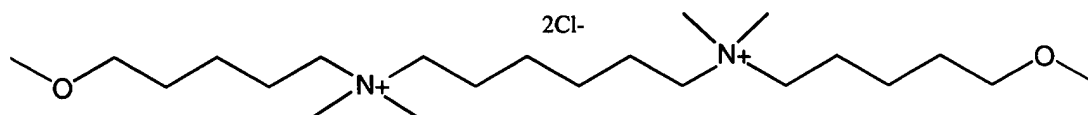
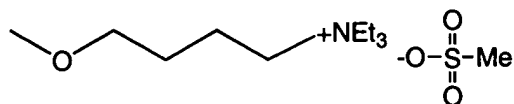
wherein R^{11} and R^{12} are independently alkyl; and

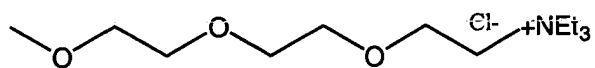
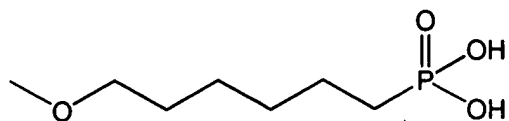
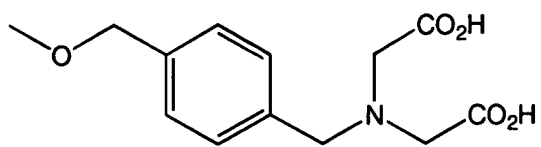
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

88. (Amended) A compound of claim 77 wherein R^{19} is selected from the group consisting of:

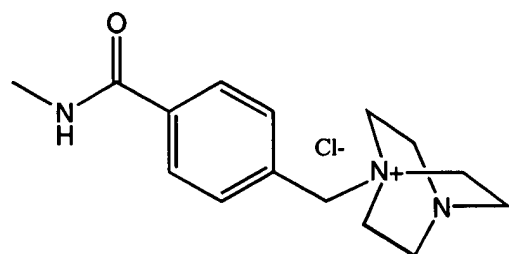
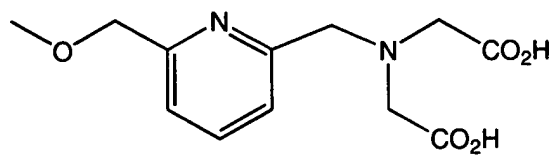
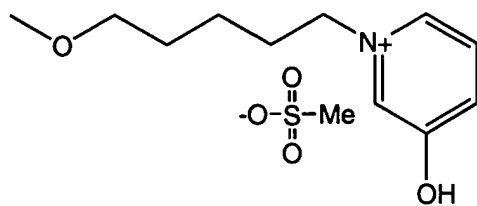


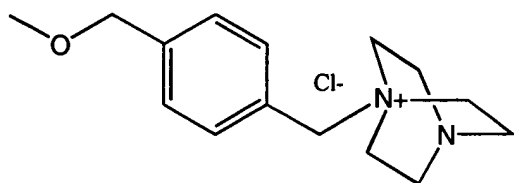
A9



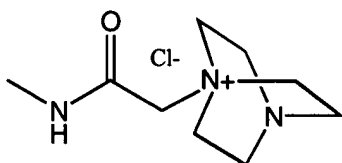
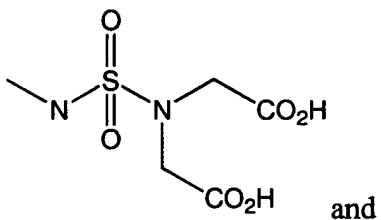
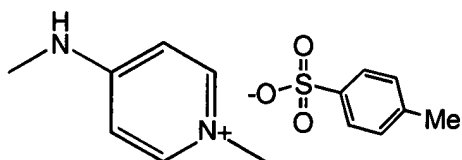


A9



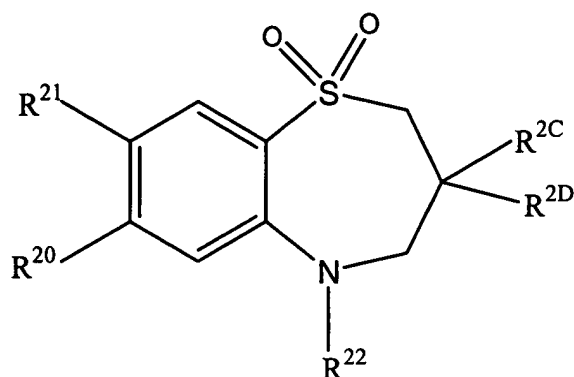


A9



121. (Amended) A compound of Formula III:

A10



III

wherein:

R^{2C} and R^{2D} are independently selected from C_{1-6} alkyl; and

R^{20} is selected from the group consisting of halogen and R^{23} ;

R^{21} is selected from the group consisting of hydroxy, alkoxy, and R^{23} ; and

wherein R^{23} is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{23} aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸

; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{23} aryl optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; $-CN$; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of

R^9 and M; and

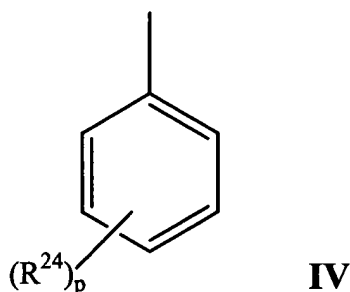
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R^{22} is unsubstituted phenyl or R^{23} ; or

a pharmaceutically acceptable salt or solvate thereof;

provided that at least one of R^{20} , R^{21} and R^{22} is R^{23} .

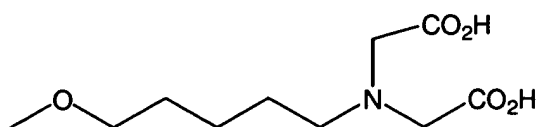
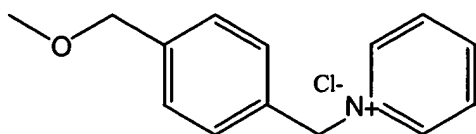
122. (Amended) A compound of Claim 121 wherein R^{23} is:



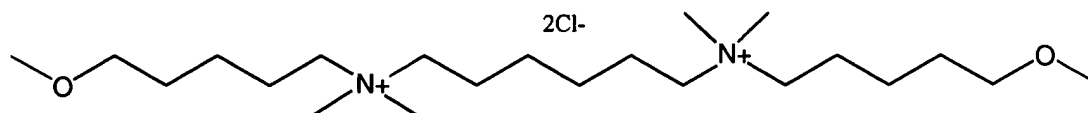
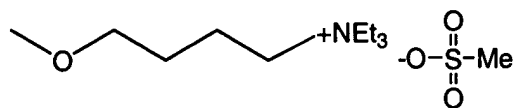
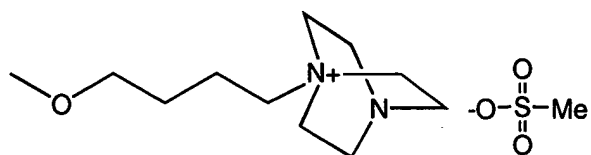
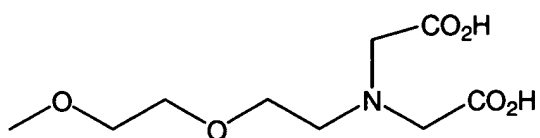
wherein

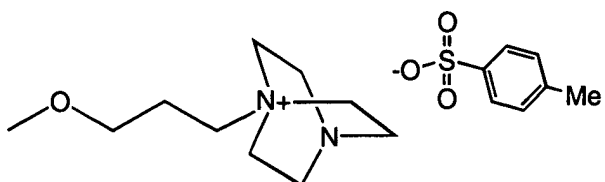
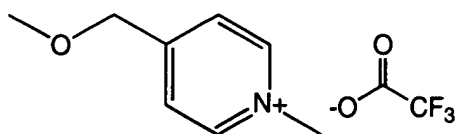
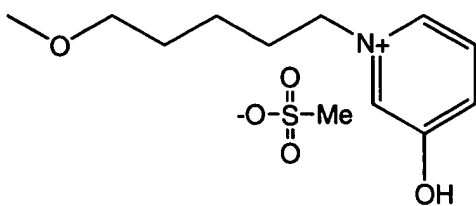
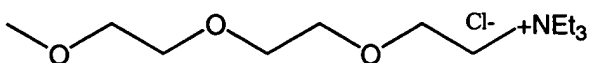
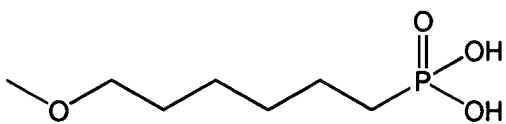
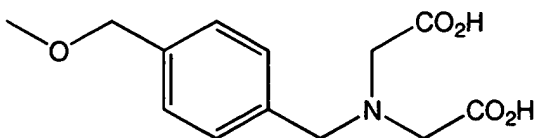
p is 1, 2, 3 or 4; and

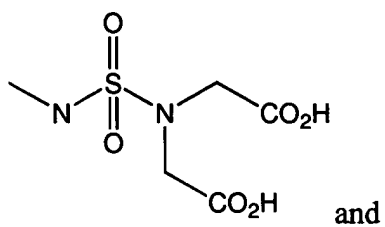
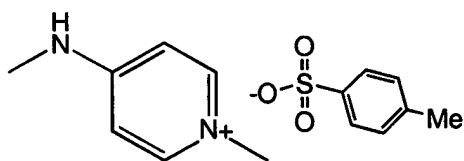
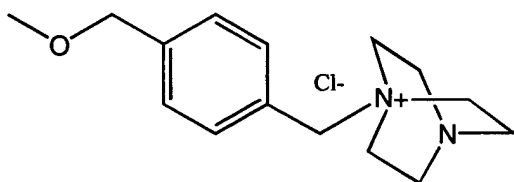
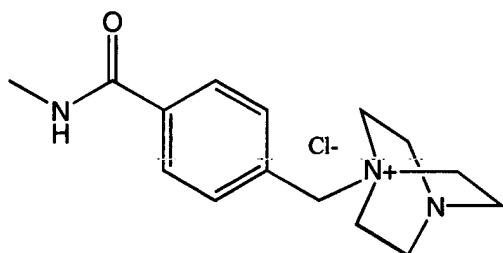
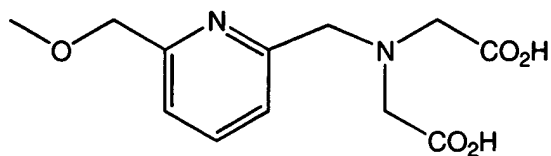
one or more R^{24} are independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and



A¹⁰

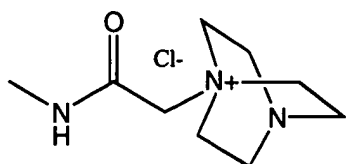


 A^{10} 



and

A10



P^{10}
 wherein the R^{24} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the R^{24} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^7$ -; $-N^+R^7R^8A^-$; -S-; -SO-; -SO₂-; $-S^+R^7A^-$; $-PR^7$ -; $-P(O)R^7$ -; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl;

heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; quaternary heterocyclalkyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclalkyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R¹⁴ and R¹⁵ together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; quaternary heterocyclalkyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; quaternary heterocyclalkyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidynyl; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹

A¹⁰
A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

125. (Amended) A compound of claim 122 wherein:

A¹¹
R²⁴ is independently selected from the group consisting of -OR¹³, -NR¹³R¹⁴, -NR¹³C(O)R¹⁴, -OC(O)NR¹³R¹⁴, and -NR¹³SO₂R¹⁴, and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, quaternary heterocyclalkyl, alkylheterocyclalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or N⁺R⁹R¹⁰A⁻, and

wherein R¹³, R¹⁴, and R¹⁵ are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary

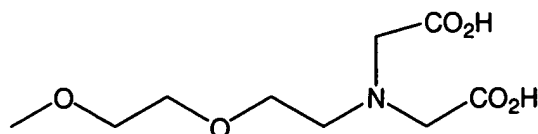
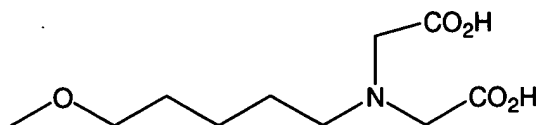
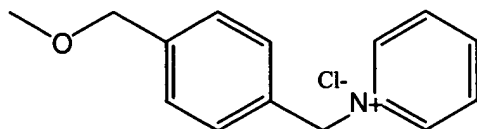
heterocyclalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

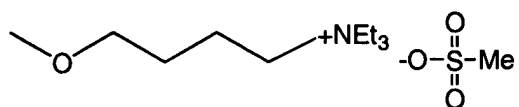
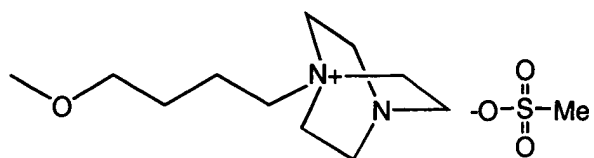
A11
wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocyclalkyl; and

wherein R^{11} and R^{12} are independently alkyl; and

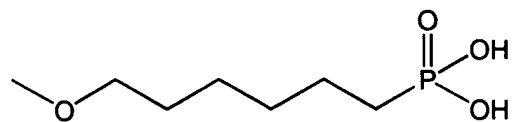
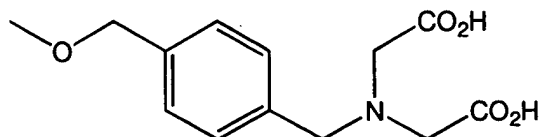
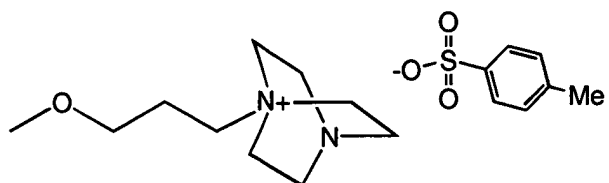
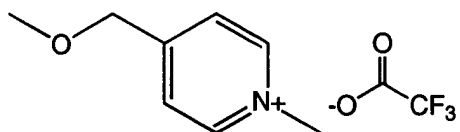
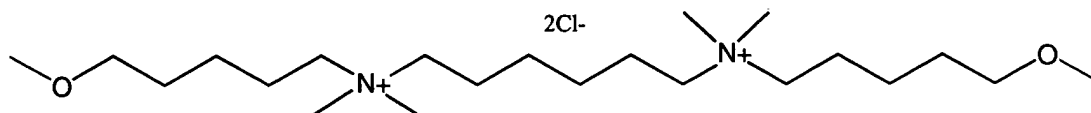
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

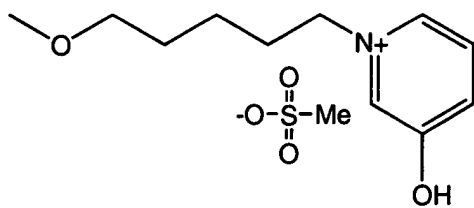
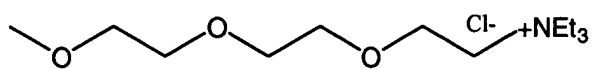
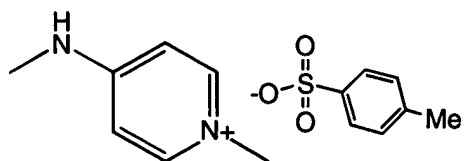
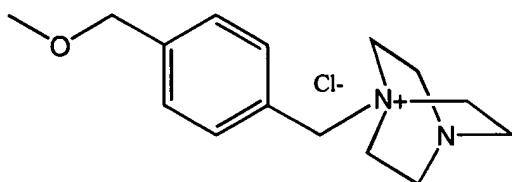
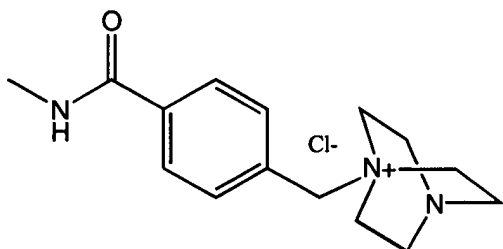
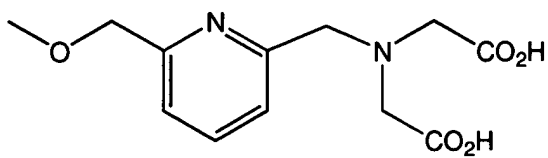
128. (Amended) A compound of claim 122 wherein R^{24} is selected from the group consisting of:



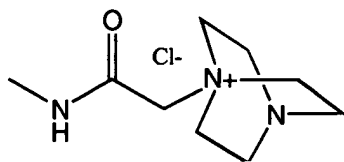
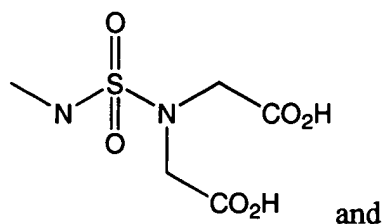


A12



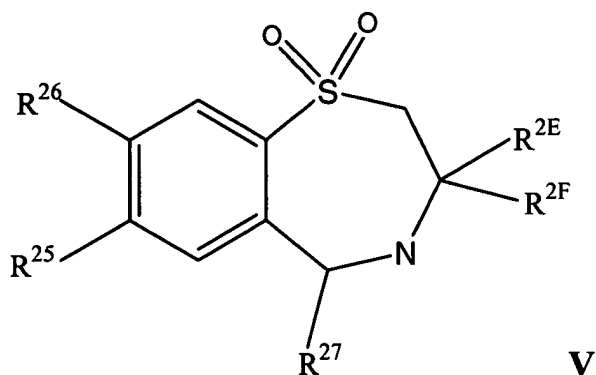

$$A1^2$$


A12



141. (Amended) A compound of Formula V:

A13



wherein:

R^{2E} and R^{2F} are independently selected from C_{1-6} alkyl; and

R^{25} and R^{26} are independently selected from the group consisting of hydrogen, alkoxy, and R^{28} ;

wherein R^{28} is aryl substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴

¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R²⁸ aryl optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; -OR⁷; -NR⁷R⁸; -SR⁷; -S(O)R⁷; -SO₂R⁷; -SO₃R⁷; -CO₂R⁷; -CONR⁷R⁸; -N⁺R⁷R⁸R⁹A⁻; -P(O)R⁷R⁸; -PR⁷R⁸; -P⁺R⁷R⁸R⁹A⁻; and -P(O)(OR⁷)OR⁸; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R²⁸ aryl optionally may have one or more carbons replaced by -O-; -NR⁷-; -N⁺R⁷R⁸A⁻; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl;

cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R¹⁴ and R¹⁵ together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidinyl; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻;

$-S^+R^9R^{10}A^-$; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by $-O-$; $-NR^9-$; $-N^+R^9R^{10}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^9A^-$; $-PR^9-$; $-P^+R^9R^{10}A^-$; $-P(O)R^9-$; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

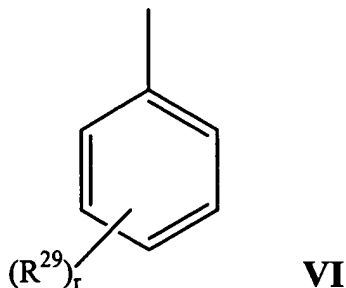
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R^{27} is unsubstituted phenyl or R^{28} ; or

a pharmaceutically acceptable salt or solvate thereof;

provided that at least one of R^{25} , R^{26} and R^{27} is R^{28} .

142. (Amended) A compound of Claim 141 wherein R^{28} is:



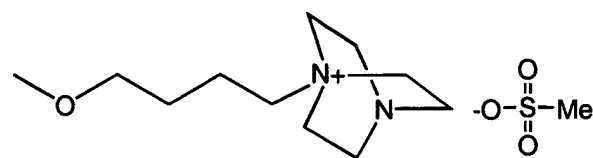
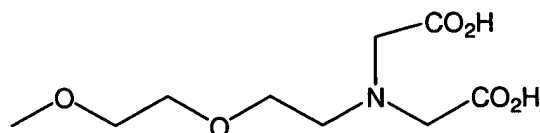
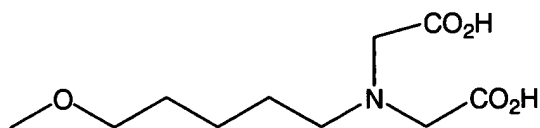
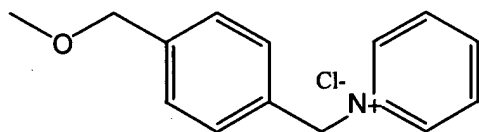
wherein

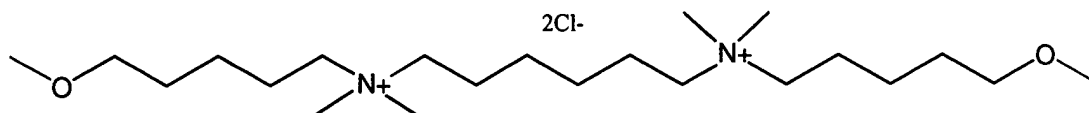
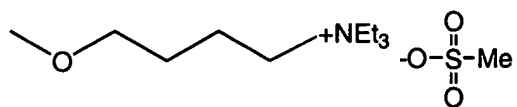
r is 1, 2, 3 or 4; and

one or more R^{29} are independently selected from the group consisting of

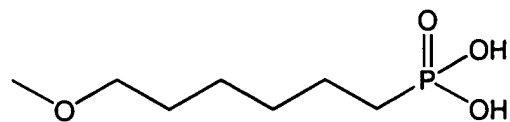
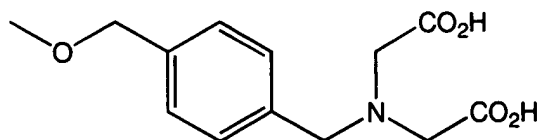
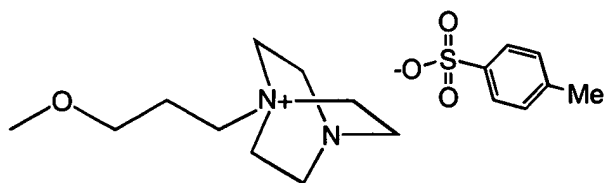
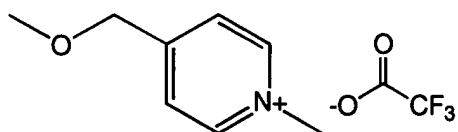
halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and

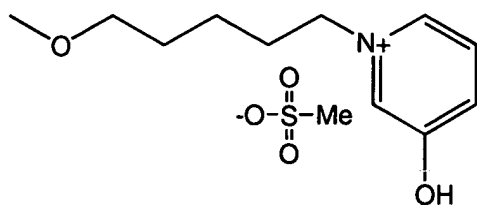
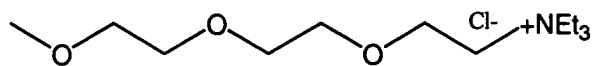
A¹³



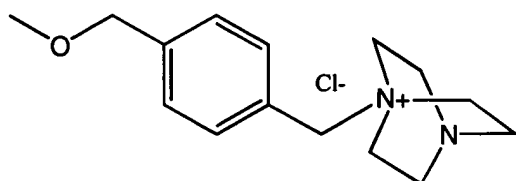
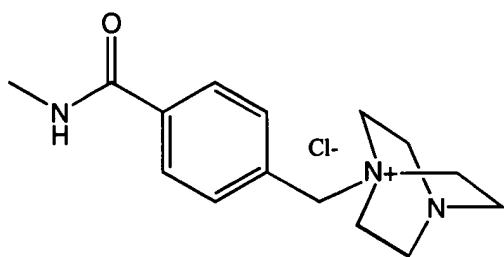
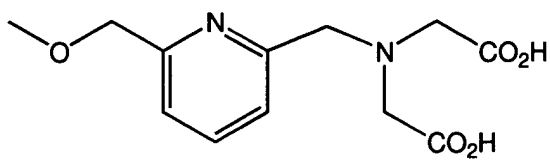


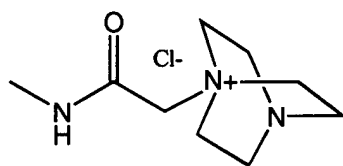
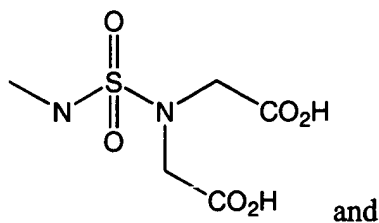
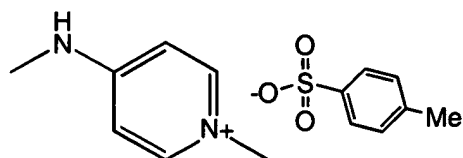
A13





A13





;

wherein the R^{29} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the R^{29} alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether radicals optionally may have one or more carbons replaced by -O-; $-NR^7$ -; -

$N^+R^7R^8A^-$; -S-; -SO-; -SO₂-; -S⁺R⁷A⁻; -PR⁷-; -P(O)R⁷-; -P⁺R⁷R⁸A⁻; or phenylene; and

wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R¹¹ and R¹² are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R¹¹ and R¹² together with the carbon atom to which they are attached form a cyclic ring; and

wherein R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R¹³ and R¹⁴ together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

A¹³
wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R^{16} and R^{17} are independently selected from the group consisting of R^9 and M; and

wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

145. (Amended) A compound of claim 142 wherein:

R^{29} is independently selected from the group consisting of $-OR^{13}$, $-NR^{13}R^{14}$, $-NR^{13}C(O)R^{14}$, $-OC(O)NR^{13}R^{14}$, and $-NR^{13}SO_2R^{14}$, and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of alkyl, polyether, aryl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, quaternary heterocyclylalkyl, alkylheterocyclylalkyl, and alkylammoniumalkyl,

wherein alkyl optionally has one or more carbons replaced by O or $N^+R^9R^{10}A^-$, and

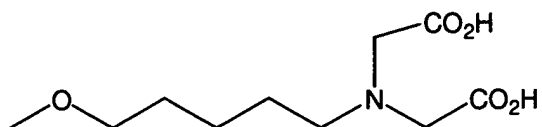
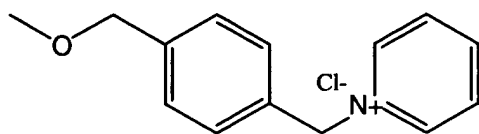
wherein R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, carboxy, alkyl, quaternary heterocyclylalkyl, $-SR^9$, $-S(O)R^9$, $-S(O)_2R^9$, $-S(O)_3R^9$, $-NR^9R^{10}$, $-N^+R^9R^{11}R^{12}A^-$, $-CONR^9R^{10}$, and $-PO(OR^{16})OR^{17}$, and

wherein R^9 and R^{10} are independently selected from the group consisting of hydrogen, alkyl, heterocyclylalkyl, carboxyalkyl, carboalkoxyalkyl, and carboxyalkylheterocyclyl; and

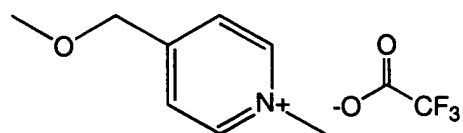
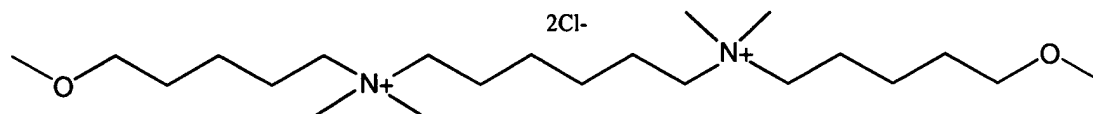
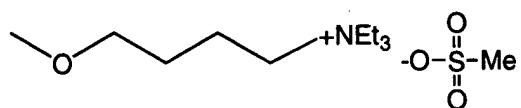
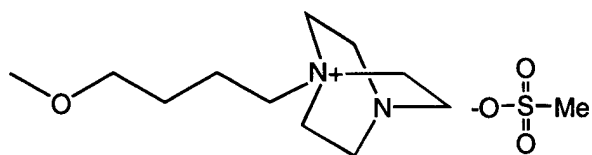
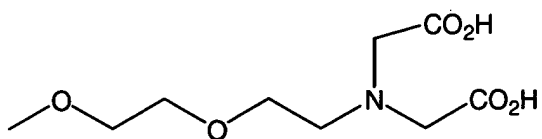
wherein R^{11} and R^{12} are independently alkyl; and

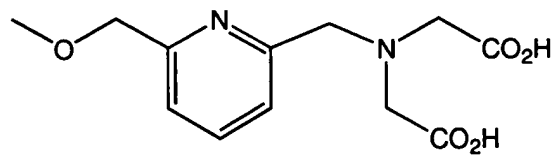
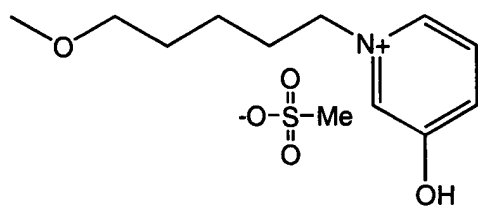
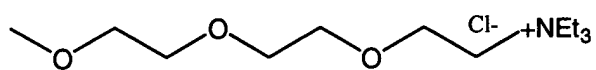
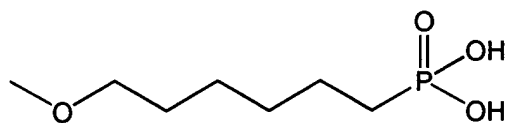
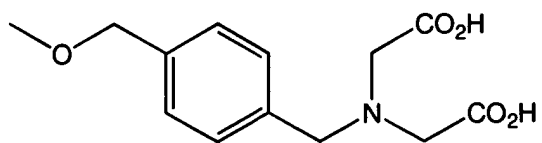
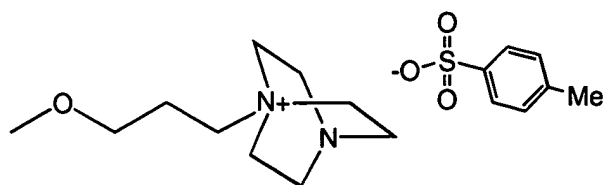
wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation.

148. (Amended) A compound of claim 142 wherein R^{29} is selected from the group consisting of:

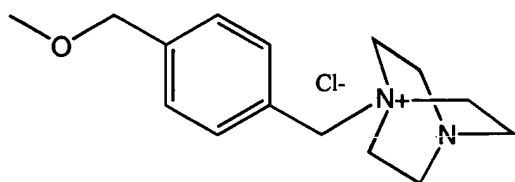
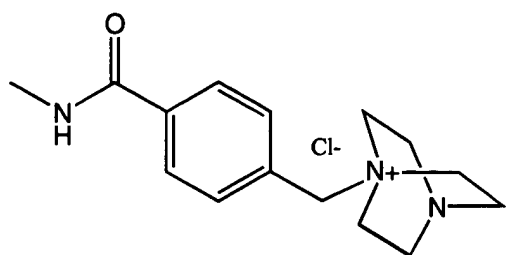


A15

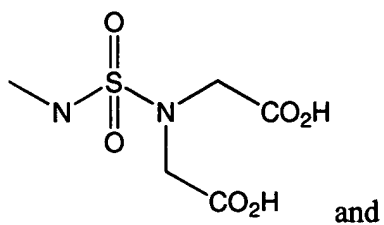
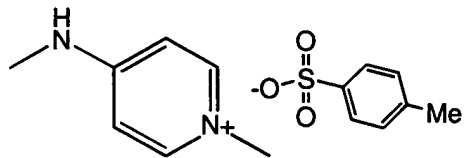




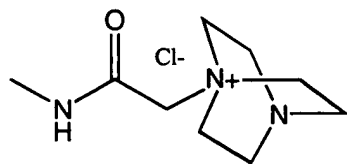
AIS



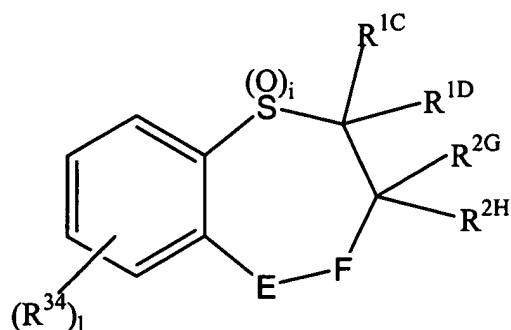
15



and



163. (Amended) A compound of Formula VII:



VII

wherein:

i is 0, 1 or 2; and

l is 0, 1, 2, 3 or 4; and

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2G} and R^{2H} together with the carbon atom to which they are attached form a C₃₋₁₀ cycloalkyl group; and

one of E and F is NR³⁰ and the other of E and F is CHR³¹;

wherein R³⁰ and R³¹ are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, -OR⁹, and R³²;

wherein the R³⁰ and R³¹ alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -NR¹³C(O)R¹⁴; -NR¹³C(O)NR¹⁴R¹⁵; -NR¹³CO₂R¹⁴; -OC(O)R¹³; -OC(O)NR¹³R¹⁴; -NR¹³SOR¹⁴; -NR¹³SO₂R¹⁴; -NR¹³SONR¹⁴R¹⁵; -NR¹³SO₂NR¹⁴R¹⁵; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; and -N⁺R¹³R¹⁴R¹⁵A⁻; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may be further substituted with one or more radicals selected from the group consisting of -CN; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may have one or more carbons replaced by -O-; $-NR^7$; $-N^+R^7R^8A^-$; -S-; -SO-; $-SO_2$; $-S^+R^7A^-$; $-PR^7$; $-P(O)R^7$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; $-OR^9$; $-NR^9R^{10}$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; and $-CONR^9R^{10}$; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN, alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR

⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R³² is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R³³ or -O-X-R³³ and wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_t; and
- a covalent bond;

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups;

s and t are independently 0 or 1; and

one or more R³⁴ radicals are independently selected from the group consisting of R³², hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)_nNR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^{34} alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰W⁻A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{34} quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; ~~oxo~~; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and carbohydrate residue; and

wherein the R^{34} radicals comprising carbon optionally may have one or more carbons replaced by -O-; -NR¹³-; -N⁺R¹³R¹⁴A⁻; -S-; -SO-; -SO₂-; -S⁺R¹³A⁻; -PR¹³-; -P(O)R¹³-; -PR¹³R¹⁴; -P⁺R¹³R¹⁴A⁻; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹-; and

wherein R^{18} is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

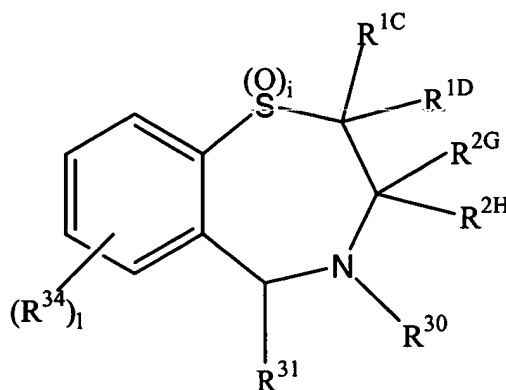
wherein the R^{18} alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or

more radicals selected from the group consisting of halogen; -CN; NO₂; ~~oxo~~; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or

a pharmaceutically acceptable salt or solvate thereof;

provided that at least one of R³⁰, R³¹ and R³⁴ is R³².

184. (Amended) A compound of claim 163 corresponding to Formula VIIA:



VIIA

wherein:

i is 0, 1 or 2; and

l is 0, 1, 2, 3 or 4; and

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2G} and R^{2H} together with the carbon atom to which they are attached form a C₃₋₇ cycloalkyl group; and

R³⁰ and R³¹ are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, -OR⁹, and R³²;

wherein the R³⁰ and R³¹ alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen; -CN; -NO₂; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl;

heterocyclalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclalkyl, quaternary heterocyclalkyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclalkyl, quaternary heterocyclalkyl, arylalkyl, heterocyclalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclalkyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclalkyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -

CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R³² is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R³³ or -O-X-R³³ and wherein:

X is selected from the group consisting of:

-(C=O)_s-alkyl-;
-(C=O)_s-alkyl-NH-;
-(C=O)_s-alkyl-O-;
-(C=O)_s-alkyl-(C=O)_t; and
a covalent bond; and

R₃₃ is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

s and t are independently 0 or 1; and

one or more R³⁴ radicals are independently selected from the group consisting of R³², hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl;

hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S(O)}\text{R}^{13}$; $-\text{S(O)}_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; $-\text{OM}$; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{14}\text{C(O)}\text{R}^{13}$; $-\text{C(O)}\text{NR}^{13}\text{R}^{14}$; $-\text{C(O)}\text{OM}$; $-\text{COR}^{13}$; $-\text{OR}^{18}$; $-\text{S(O)}_n\text{NR}^{13}\text{R}^{14}$; $-\text{NR}^{13}\text{R}^{18}$; $-\text{NR}^{18}\text{OR}^{14}$; $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P(O)}\text{R}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^{34} alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; $-\text{CN}$; OR^{16} ; $-\text{NR}^9\text{R}^{10}$; $-\text{N}^+\text{R}^9\text{R}^{10}\text{R}^w\text{A}^-$; $-\text{SR}^{16}$; $-\text{S(O)}\text{R}^9$; $-\text{SO}_2\text{R}^9$; $-\text{SO}_3\text{R}^{16}$; $-\text{CO}_2\text{R}^{16}$; $-\text{CONR}^9\text{R}^{10}$; $-\text{SO}_2\text{NR}^9\text{R}^{10}$; $-\text{PO}(\text{OR}^{16})\text{OR}^{17}$; $-\text{P}^9\text{R}^{10}$; $-\text{P}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$; $-\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$; and carbohydrate residue; and

wherein the R^{34} quaternary heterocycl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-\text{CN}$; $-\text{NO}_2$; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; $-\text{OR}^{13}$; $-\text{NR}^{13}\text{R}^{14}$; $-\text{SR}^{13}$; $-\text{S(O)}\text{R}^{13}$; $-\text{SO}_2\text{R}^{13}$; $-\text{SO}_3\text{R}^{13}$; $-\text{NR}^{13}\text{OR}^{14}$; $-\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$; $-\text{CO}_2\text{R}^{13}$; OM ; $-\text{SO}_2\text{OM}$; $-\text{SO}_2\text{NR}^{13}\text{R}^{14}$; $-\text{C(O)}\text{NR}^{13}\text{R}^{14}$; $-\text{C(O)}\text{OM}$; $-\text{COR}^{13}$; $-\text{P(O)}\text{R}^{13}\text{R}^{14}$; $-\text{P}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; $-\text{P(OR}^{13})\text{OR}^{14}$; $-\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$; and carbohydrate residue; and

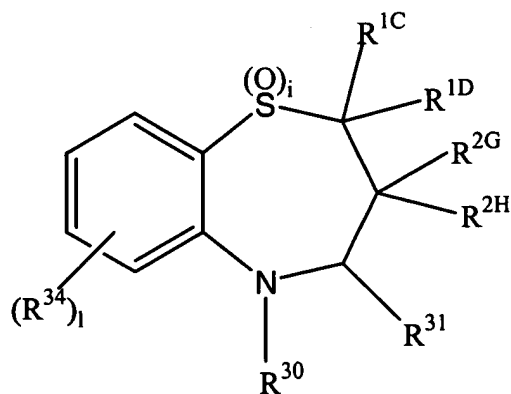
wherein the R^{34} radicals comprising carbon optionally may have one or more carbons replaced by $-\text{O}-$; $-\text{NR}^{13}-$; $-\text{N}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; $-\text{S}-$; $-\text{SO}-$; $-\text{SO}_2-$; $-\text{S}^+\text{R}^{13}\text{A}^-$; $-\text{PR}^{13}-$; $-\text{P(O)}\text{R}^{13}-$; $-\text{PR}^{13}\text{R}^{14}$; $-\text{P}^+\text{R}^{13}\text{R}^{14}\text{A}^-$; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate

residue; and polyalkyl optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; or -P(O)R⁹; and

wherein R¹⁸ is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R¹⁸ alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; NO₂; -OR⁹; -NR⁹R¹⁰; -N⁺R⁹R¹¹R¹²A⁻; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; -CONR⁹R¹⁰; -SO₂OM; -SO₂NR⁹R¹⁰; -PR⁹R¹⁰; -P(OR¹³)OR¹⁴; -PO(OR¹⁶)OR¹⁷; and -C(O)OM; or a pharmaceutically acceptable salt or solvate thereof; provided that at least one of R³⁰, R³¹ and R³⁴ is R³².

205. (Amended) A compound of claim 163 corresponding to Formula VIIB:



VIIB

wherein:

i is 0, 1 or 2; and

l is 0, 1, 2, 3 or 4; and

R^{1C} and R^{1D} are independently selected from hydrogen and alkyl; and

R^{2G} and R^{2H} are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl and aralkyl; or

R^{2G} and R^{2H} together with the carbon atom to which they are attached form a C_{3-7} cycloalkyl group; and

R^{30} and R^{31} are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; aryl; heterocyclyl; acyl, thioacyl, $-OR^9$, and R^{32} ;

wherein the R^{30} and R^{31} alkyl; cycloalkyl; aryl; heterocyclyl radicals are independently substituted with one or more radicals independently selected from the group consisting of halogen; $-CN$; $-NO_2$; alkyl; polyalkyl; haloalkyl; hydroxyalkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; polyether; $-OR^{13}$; $-NR^{13}R^{14}$; $-SR^{13}$; $-S(O)R^{13}$; $-SO_2R^{13}$; $-SO_3R^{13}$; $-NR^{13}OR^{14}$; $-NR^{13}NR^{14}R^{15}$; $-CO_2R^{13}$; $-OM$; $-SO_2OM$; $-SO_2NR^{13}R^{14}$; $-C(O)NR^{13}R^{14}$; $-C(O)OM$; $-COR^{13}$; $-NR^{13}C(O)R^{14}$; $-NR^{13}C(O)NR^{14}R^{15}$; $-NR^{13}CO_2R^{14}$; $-OC(O)R^{13}$; $-OC(O)NR^{13}R^{14}$; $-NR^{13}SOR^{14}$; $-NR^{13}SO_2R^{14}$; $-NR^{13}SONR^{14}R^{15}$; $-NR^{13}SO_2NR^{14}R^{15}$; $-PR^{13}R^{14}$; $-P(O)R^{13}R^{14}$; $-P^+R^{13}R^{14}R^{15}A^-$; $-P(OR^{13})OR^{14}$; $-S^+R^{13}R^{14}A^-$; and $-N^+R^{13}R^{14}R^{15}A^-$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may be further substituted with one or more radicals selected from the group consisting of $-CN$; halogen; hydroxy; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclyl; $-OR^7$; $-NR^7R^8$; $-SR^7$; $-S(O)R^7$; $-SO_2R^7$; $-SO_3R^7$; $-CO_2R^7$; $-CONR^7R^8$; $-N^+R^7R^8R^9A^-$; $-P(O)R^7R^8$; $-PR^7R^8$; $-P^+R^7R^8R^9A^-$; and $-P(O)(OR^7)OR^8$; and

wherein the alkyl, polyalkyl, haloalkyl, hydroxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heterocyclyl, quaternary heterocyclyl, arylalkyl, heterocyclylalkyl, and polyether substituents of the R^{30} and R^{31} radicals optionally may have one or more carbons replaced by $-O-$; $-NR^7-$; $-N^+R^7R^8A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^7A^-$; $-PR^7-$; $-P(O)R^7-$; $-P^+R^7R^8A^-$; or phenylene; and

wherein R^7 and R^8 are independently selected from the group consisting of hydrogen; and alkyl; and

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of hydrogen; alkyl; cycloalkyl; alkenyl; alkynyl; aryl; heterocyclyl; alkylammoniumalkyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; carboxyaryl; carboxyheterocyclyl; amino; alkylamino; carboxyalkylamino; alkoxyalkylamino; and acyl; and

wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen; -CN; halogen; alkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; carboxyalkyl; alkoxyalkyl; carboalkoxyalkyl; cycloalkyl; cycloalkenyl; haloalkyl; hydroxyalkyl; cyanoalkyl; -OR⁹; -NR⁹R¹⁰; -SR⁹; -S(O)R⁹; -SO₂R⁹; -SO₃R⁹; -CO₂R⁹; and -CONR⁹R¹⁰; or

R^{11} and R^{12} together with the carbon atom to which they are attached form a cyclic ring; and

wherein R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen; alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether; or

wherein R^{13} and R^{14} together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocyclyl that is optionally substituted with one or more radicals selected from the group consisting of carboxy, and quaternary salts; or

wherein R^{14} and R^{15} together with the nitrogen atom to which they are attached form a cyclic ring; and

wherein the R^{13} , R^{14} , and R^{15} alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; quaternary heterocyclalkyl; alkylarylalkyl; alkylheterocyclalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl;

carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; alkyl; haloalkyl; hydroxyalkyl; sulfoalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; quaternary heterocyclylalkyl; carboxy; carboxyalkyl; guanidiny; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -N⁺R⁹R¹¹R¹²A⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -PR⁹R¹⁰; -P⁺R⁹R¹⁰R¹¹A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R¹³, R¹⁴, and R¹⁵ alkyl; haloalkyl; cycloalkyl; polyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclylalkyl; quaternary heterocyclylalkyl; alkylarylalkyl; alkylheterocyclylalkyl; alkylammoniumalkyl; aminoalkyl; aminocarbonylalkyl; alkylaminocarbonylalkyl; carboxyalkylaminocarbonylalkyl; and polyether radicals optionally may have one or more carbons replaced by -O-; -NR⁹-; -N⁺R⁹R¹⁰A⁻; -S-; -SO-; -SO₂-; -S⁺R⁹A⁻; -PR⁹-; -P⁺R⁹R¹⁰A⁻; -P(O)R⁹-; phenylene; carbohydrate residue; amino acid residue; peptide residue; or polypeptide residue; and

wherein R¹⁶ and R¹⁷ are independently selected from the group consisting of R⁹ and M; and

wherein A is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation; and

R³² is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with -N(H)-X-R³³ or -O-X-R³³ and wherein:

X is selected from the group consisting of:

- (C=O)_s-alkyl-;
- (C=O)_s-alkyl-NH-;
- (C=O)_s-alkyl-O-;
- (C=O)_s-alkyl-(C=O)_i; and
- a covalent bond; and

R_{33} is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

s and t are independently 0 or 1; and

one or more R^{34} radicals are independently selected from the group consisting of R^{32} , hydrogen; halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -S(O)₂R¹³; -SO₃R¹³; -S⁺R¹³R¹⁴A⁻; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; -OM; -SO₂OM; -SO₂NR¹³R¹⁴; -NR¹⁴C(O)R¹³; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -OR¹⁸; -S(O)_nNR¹³R¹⁴; -NR¹³R¹⁸; -NR¹⁸OR¹⁴; -N⁺R¹³R¹⁴R¹⁵A⁻; -PR¹³R¹⁴; -P(O)R¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; amino acid residue; peptide residue; polypeptide residue; and carbohydrate residue;

wherein the R^{34} alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; acyloxy radicals optionally may be further substituted with one or more radicals selected from the group consisting of halogen; -CN; -OR¹⁶; -NR⁹R¹⁰; -N⁺R⁹R¹⁰R^wA⁻; -SR¹⁶; -S(O)R⁹; -SO₂R⁹; -SO₃R¹⁶; -CO₂R¹⁶; -CONR⁹R¹⁰; -SO₂NR⁹R¹⁰; -PO(OR¹⁶)OR¹⁷; -P⁹R¹⁰; -P⁺R⁹R¹¹R¹²A⁻; -S⁺R⁹R¹⁰A⁻; and carbohydrate residue; and

wherein the R^{34} quaternary heterocyclyl radical optionally may be substituted with one or more radicals selected from the group consisting of halogen; -CN; -NO₂; alkyl; cycloalkyl; polyalkyl; haloalkyl; hydroxyalkyl; alkenyl; alkynyl; aryl; heterocyclyl; arylalkyl; heterocyclalkyl; polyether; -OR¹³; -NR¹³R¹⁴; -SR¹³; -S(O)R¹³; -SO₂R¹³; -SO₃R¹³; -NR¹³OR¹⁴; -NR¹³NR¹⁴R¹⁵; -CO₂R¹³; OM; -SO₂OM; -SO₂NR¹³R¹⁴; -C(O)NR¹³R¹⁴; -C(O)OM; -COR¹³; -P(O)R¹³R¹⁴; -P¹³R¹⁴; -P⁺R¹³R¹⁴R¹⁵A⁻; -P(OR¹³)OR¹⁴; -S⁺R¹³R¹⁴A⁻; -N⁺R¹³R¹⁴R¹⁵A⁻; and carbohydrate residue; and

wherein the R^{34} radicals comprising carbon optionally may have one or more carbons replaced by $-O-$; $-NR^{13}-$; $-N^+R^{13}R^{14}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^{13}A^-$; $-PR^{13}-$; $-P(O)R^{13}-$; $-PR^{13}R^{14}-$; $-P^+R^{13}R^{14}A^-$; phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; polyether; or polyalkyl; wherein said phenylene; amino acid residue; peptide residue; polypeptide residue; carbohydrate residue; and polyalkyl optionally may have one or more carbons replaced by $-O-$; $-NR^9-$; $-N^+R^9R^{10}A^-$; $-S-$; $-SO-$; $-SO_2-$; $-S^+R^9A^-$; $-PR^9-$; $-P^+R^9R^{10}A^-$; or $-P(O)R^9-$; and

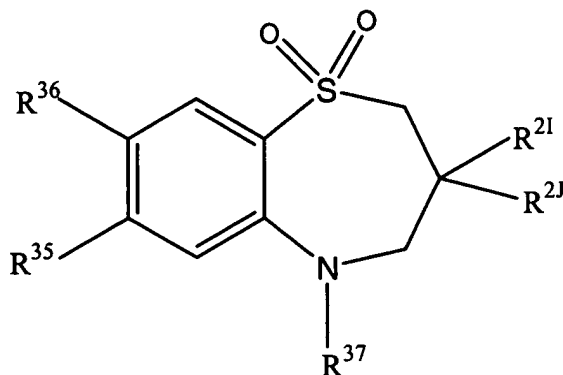
wherein R^{18} is selected from the group consisting of alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl; and

wherein the R^{18} alkyl; alkenyl; alkynyl; aryl; heterocyclyl; quaternary heterocyclyl; arylalkyl; heterocyclalkyl; acyl; alkoxycarbonyl; arylalkoxycarbonyl; and heterocyclalkoxycarbonyl radicals optionally may be substituted with one or more radicals selected from the group consisting of halogen; $-CN$; NO_2 ; $-OR^9$; $-NR^9R^{10}$; $-N^+R^9R^{11}R^{12}A^-$; $-SR^9$; $-S(O)R^9$; $-SO_2R^9$; $-SO_3R^9$; $-CO_2R^9$; $-CONR^9R^{10}$; $-SO_2OM$; $-SO_2NR^9R^{10}$; $-PR^9R^{10}$; $-P(OR^{13})OR^{14}$; $-PO(OR^{16})OR^{17}$; and $-C(O)OM$; or

a pharmaceutically acceptable salt or solvate thereof;

provided that at least one of R^{30} , R^{31} and R^{34} is R^{32} .

226. (Amended) A compound of Formula VIII:



VIII

wherein:

R^{21} and R^{2J} are independently selected from C_{1-6} alkyl; and

R^{35} is selected from the group consisting of halogen and R^{38} ;
 R^{36} is selected from the group consisting of hydroxy, alkoxy, and R^{38} ;
 wherein R^{38} is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with $-N(H)-X-R^{39}$ or $-O-X-R^{39}$ and wherein:

X is selected from the group consisting of:

$-(C=O)_u\text{-alkyl-}$;
 $-(C=O)_u\text{-alkyl-NH-}$;
 $-(C=O)_u\text{-alkyl-O-}$;
 $-(C=O)_u\text{-alkyl-(C=O)}_v$; and
 a covalent bond; and

R^{39} is selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

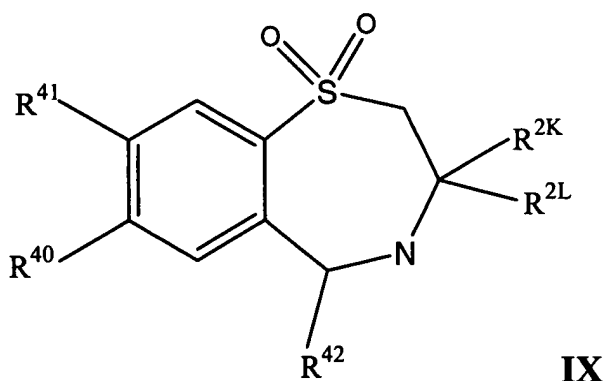
u and v are independently 0 or 1; and

R^{37} is unsubstituted phenyl or R^{38} ; or

a pharmaceutically acceptable salt or solvate thereof;

provided that at least one of R^{35} , R^{36} and R^{37} is R^{38} .

237. (Amended) A compound of Formula IX:



wherein:

R^{2K} and R^{2L} are independently selected from C_{1-6} alkyl; and

R^{40} and R^{41} are independently selected from the group consisting of hydrogen, alkoxy, and R^{43} ;

wherein R^{43} is selected from the group consisting of cycloalkyl, aryl and heterocyclyl, wherein said cycloalkyl, aryl and heterocyclyl are substituted with $-N(H)-X-R^{44}$ or $-O-X-R^{44}$ and wherein:

X is selected from the group consisting of:

- $-(C=O)_a\text{-alkyl-}$;
- $-(C=O)_a\text{-alkyl-NH-}$;
- $-(C=O)_a\text{-alkyl-O-}$;
- $-(C=O)_a\text{-alkyl-(C=O)}_b$; and
- a covalent bond; and

R^{44} is selected from selected from the group consisting of monosaccharides, disaccharides, and polysaccharides, wherein said monosaccharides, disaccharides, and polysaccharides may be protected with one or more sugar protecting groups; and

a and b are independently 0 or 1; and

R^{42} is unsubstituted phenyl or R^{43} ; or

a pharmaceutically acceptable salt or solvate thereof;

provided that at least one of R^{40} , R^{41} and R^{42} is R^{43} .

251. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula I according to any one of claims 1 to 120, or a pharmaceutically acceptable salt or solvate thereof.

252. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula III according to any one of claims 121 to 140, or a pharmaceutically acceptable salt or solvate thereof.

253 (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula V according to any one of claims 141 to 162, or a pharmaceutically acceptable salt or solvate thereof.

254. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula VII according to any one of claims 163 to 225, or a pharmaceutically acceptable salt or solvate thereof.

A21

255. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula VIII according to any one of claims 226 to 236, or a pharmaceutically acceptable salt or solvate thereof.

256. (Amended) A method of treating a hyperlipidemic condition in a subject comprising administering to the subject a therapeutically effective amount of a compound of Formula IX according to any one of claims 237 to 250, or a pharmaceutically acceptable salt or solvate thereof.

258. (Amended) A pharmaceutical composition comprising a compound of Formula I according to any one of claims 1 to 120 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.

A22

259. (Amended) A pharmaceutical composition comprising a compound of Formula III according to any one of claims 121 to 140 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.

260. (Amended) A pharmaceutical composition comprising a compound of Formula V according to any one of claims 141 to 162 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.

261. (Amended) A pharmaceutical composition comprising a compound of Formula VII according to any one of claims 163 to 225 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.

A22

262. (Amended) A pharmaceutical composition comprising a compound of Formula VIII according to any one of claims 226 to 236 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.

263. (Amended) A pharmaceutical composition comprising a compound of Formula IX according to any one of claims 237 to 250 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.
